PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 0000054040	**FOR FURTHER ACTION**	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
International application No. PCT/EP 03/12276	International filing date *(day/month/year)* 04.11.2003	Priority date *(day/month/year)* 07.11.2002
International Patent Classification (IPC) or both national classification and IPC C07D487/04		
Applicant BASF AKTIENGESELLSCHAFT		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 8 sheets, including this cover sheet.

   ☒ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

   These annexes consist of a total of 8 sheets.

3. This report contains indications relating to the following items:

   - I ☒ Basis of the opinion
   - II ☐ Priority
   - III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - IV ☒ Lack of unity of invention
   - V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
   - VI ☐ Certain documents cited
   - VII ☐ Certain defects in the international application
   - VIII ☐ Certain observations on the international application

Date of submission of the demand	Date of completion of this report
20.04.2004	29.03.2005
Name and mailing address of the international preliminary examining authority: European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer Samsam Bakhtiary, M Telephone No. +49 89 2399-8556

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/EP 03/12276

I. Basis of the report

1. With regard to the **elements** of the international application *(Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17))*:

Description, Pages

1-41 as originally filed

Claims, Numbers

1-18 received on 15.02.2005 with letter of 14.02.2005

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

   These elements were available or furnished to this Authority in the following language: , which is:

   ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).

   ☐ the language of publication of the international application (under Rule 48.3(b)).

   ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

   ☐ contained in the international application in written form.

   ☐ filed together with the international application in computer readable form.

   ☐ furnished subsequently to this Authority in written form.

   ☐ furnished subsequently to this Authority in computer readable form.

   ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

   ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

   ☐ the description, pages:

   ☐ the claims, Nos.:

   ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

   (Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

IV. Lack of unity of invention

1. In response to the invitation to restrict or pay additional fees, the applicant has:

   ☐ restricted the claims.

   ☐ paid additional fees.

   ☒ paid additional fees under protest.

   ☐ neither restricted nor paid additional fees.

2. ☐ This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.

3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is

   ☒ complied with.

   ☐ not complied with for the following reasons:

4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report:

   ☒ all parts.

   ☐ the parts relating to claims Nos. .

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	1-18
	No:	Claims	
Inventive step (IS)	Yes:	Claims	10-18
	No:	Claims	1-9
Industrial applicability (IA)	Yes:	Claims	1-18
	No:	Claims	

2. Citations and explanations

see separate sheet

Re Item IV

Lack of unity of invention

This Authority considers that there are 6 inventions covered by the claims indicated as follows:

1. Claims: 1(part),2(part),4-10

   Triazolopyrimidine derivatives, when R2= hydrogen, R1= aliphatic group (claim 1,2,4,5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

2. Claims: 1(part),4-10

   Triazolopyrimidine derivatives, when R2= hydrogen, R1= cyclic group (claim 1,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

3. Claims: 1(part),2(part), 4-10

   Triazolopyrimidine derivatives, when R2= aliphatic group, R1= aliphatic group (claim 1,2,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

4. Claims: 1(part),4-10

   Triazolopyrimidine derivatives, when R2= aliphatic group, R1= cyclic group (claim 1,4 and 5);process to make these

compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

5. Claims: 1(part), 4-9

Triazolopyrimidine derivatives, when R2= cyclic group, R1= cyclic group (claim 1,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

6. Claims: 1(part), 3-10

Triazolopyrimidine derivatives, when R1 and R2 together form an heterocycle (claim 1,3,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

The reasons for which the inventions are not so linked as to form a single general inventive concept, as required by Rule 13.1 PCT, are as follows:

The unique common concept linking together the subject-matters of claims 1-10 is the presence of a 7-R1R2-amino-6-(2-halogenphenyl)-triazolopyrimidines derivatives said compounds having fungicidal activity.
Such a structural feature is already disclosed in WO98/46608 (see Table I, page 21, examples 47 and 62) for compounds which exhibit the same fungicidal activity (see page 1, lines 2-5).
Since the common feature is not novel, it cannot represent the single inventive concept which could have linked the different claimed subject-matters together.

The Applicant paid one extra search fee (search phase) and also one extra examination

fee (exam. phase, under protest) for invention n°6.

Therefore the subject matter which will be examined are invention n° 1 (R1=H; R2= aliphatic group) and n° 6 (R1 and R2 together form an heterocycle).
The subject matter of claims 1-18 are now restricted to invention n° 1 and n° 6, so now the requirements of unity of invention are met.

Re Item V

Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

I. Reference is made to the following documents:

D1: WO 98/46608 A (AMERICAN CYANAMID CO) 22 October 1998 (1998-10-22)
D2: FR-A-2 765 875 (AMERICAN CYANAMID CO) 15 January 1999 (1999-01-15)
D3: US-A-5593996 (AMERICAN CYANAMID CO) 7 January 1997
D4: FR-A-2 784 991 (AMERICAN CYANAMID CO) 28 April 2000 (2000-04-28)
D5: US-A-5 986 135 (COTTER HENRY VAN TUYL ET AL) 16 November 1999 (1999-11-16)
D6: FR-A-2 784 381 (AMERICAN CYANAMID CO) 14 April 2000 (2000-04-14)
D7: EP-A-0 071 792 (BASF AG) 16 February 1983 (1983-02-16)
D8: WO 02/50077 A (HENRICH MARIELOUISE ;MAULER-MACHNIK ASTRID (DE); HILGERS PETRA (DE) 27 June 2002 (2002-06-27)
D9: WO 02/094020 A (KUGLER MARTIN ;KUHNT DIETMAR (DE); RIECK HEIKO (DE); BAYER AG (DE)) 28 November 2002 (2002-11-28)

1. **Claims 1-9** (corresponding to invention n° 1)

1.1 Novelty

Amendment of claim 1: R1 cannot be anymore haloalkyl and R2 is limited to hydrogen.

By these amendments, the specific compounds disclosed in documents D1-D8 are no longer relevant regarding novelty.

Intermediates of claims 7 contain specific features which now can be considered as novel.

In case this application is proceeded in a european phase, then overlapping subject matter between D1-D3,D5,D6,D8,D9 and the claimed subject matter of this application should be removed furthermore D9 (Pdocument), page 22, example 6 would anticipates the subject matter of claims 1-9.
In case of amendment to overcome novelty, we draw the attention of the Applicant to the jurisprudence regarding "disclaimers" (G01/03).

1.2 Inventive step

The claimed subject matter of this application is a invention selection of a broader family of compounds of D2 and D3.
Such a selection can only be regarded as inventive, if the sub-family presents un-expected effects or properties in relation to the broader family. However, no such effects or properties are indicated in the application. Hence, no inventive step is present in the subject-matter of claim 1-9.

2. **Claims 10-18** (corresponding to invention n° 6)

2.1 Novelty

Documents D2-D4, D6 disclose generically and specifically compounds where R1 and R2 form an heterocycle with the nitrogen.
None of the specific examples does affect the novelty of the claimed subject matter.
However, if this application is proceeded in the european phase, then overlapping subject matter may exist and in such case should be removed.
The subject matter of claims 10-18 can be regarded as novel.

2.2 Inventive step

The claimed subject matter of this application is a invention selection of a broader family of compounds of D2 D3,D6. However D3 can be considered as the most relevant prior art in view of the quantity of disclosed examples.
Such a selection can only be regarded as inventive, if the sub-family presents un-expected effects or properties in relation to the broader family.
With his letter of 14.02.05, the Applicant provided comparative tests showing improved herbicidal properties of his claimed compound over the example 119 of D3.
The specific position of the substituent on the phenyl ring influences the herbicidal activity of the overwhole molecule, this could be predictable.

The subject matter of claims 10-18 can be considered as inventive.

IV Other matters

1.Contrary to the requirements of Rule 5.1(a)(ii) PCT, the relevant background art disclosed in the documents D2-D9 is not mentioned in the description, nor are these documents identified therein.

2.If this application is further proceeded in the european phase, then independent claims 1 and 9 relating to a compound per se should be made dependent (Rule 29 EPC).